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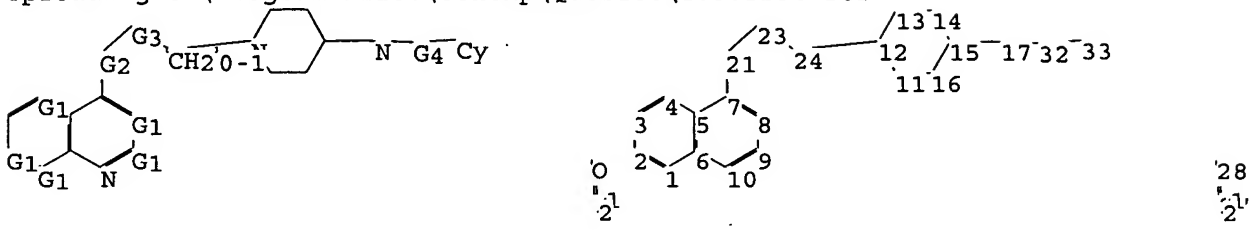


chain nodes :
17 21 23 24 27 28 32 33
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16
chain bonds :
7-21 12-24 15-17 17-32 21-23 23-24 27-28 32-33
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-21 8-9 9-10 11-12 11-16 12-13
12-24 13-14 14-15 15-16 15-17 17-32 21-23 23-24 27-28 32-33
isolated ring systems :
containing 1 : 11 :

G1:C,N

G2:C,O,N

G3:SO2,C,O,N

G4:CH2,SO2,[*1-*2]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 21:CLASS 23:CLASS
24:CLASS 27:CLASS 28:CLASS 32:CLASS 33:Atom

L1 STRUCTURE UPLOADED

```
=> d l1
L1 HAS NO ANSWERS
L1                STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l1 full
L3           616 SEA SSS FUL L1

=> file ca

=> s l3
L4             6 L3

=> d ibib abs fhitstr 1-6
```

L4 ANSWER 1 OF 6 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:140414 CA
TITLE: Preparation of quinolines and 1,5-naphthyridines as antibacterial agents
INVENTOR(S): Axten, Jeffrey Michael; Brooks, Gerald; Brown, Pamela; Davies, David; Gallagher, Timothy Francis; Markwell, Roger Edward; Miller, William Henry; Pearson, Neil David; Seefeld, Mark
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 232 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058144	A2	20040715	WO 2003-US40032	20031217
WO 2004058144	A3	20041021		

W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, EG, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-434729P P 20021218
US 2003-457013P P 20030324

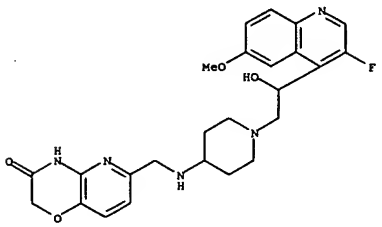
OTHER SOURCE(S): MARPAT 141:140414
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein Z1 = N, CR1a and derivs.; R, R1a = independently H, halo, alkylthio, alkyl, etc.; R1CCR1a = ethylenedioxy; R1b = H, halo; with the proviso that when Z1 = N, then R1b = H, and when Z1 = CR1a, then R1 is not H; R1c = halo; AB = CHR6-CO, CHR6-CH2; R6 = H, NH2, CH2OH, OH; R3 = up 2 substituents selected from H, halo, alkyl, hydroxyalkyl, CONH2, CO2H, CH2CONH2, etc.; R4 = UR5; R5 = (un)substituted bicyclyl carbocyclyl or heterocyclyl containing up to 4 heteroatoms in each ring; U = CO, SO2, CH2; and their pharmaceutically acceptable salts] were prepared for treating bacterial infections in mammals, in particular humans. For example, II was prepared by hydrogenation of 5-benzyloxy-2-hydroxymethyl-1H-pyridin-4-one with Pd/C, cyclization with 1,2-dibromoethane, oxidation of the alc., and

L4 ANSWER 1 OF 6 CA COPYRIGHT 2005 ACS on STN (Continued)
reductive alkylation of the amine III (prepn. given) with the resulting aldehyde. Selected I displayed MIC's ≤ 2 μg/mL against Staphylococcus aureus, E. coli, etc.
IT 724790-99-2P, (+)-6-[[[1-[2-[3-Fluoro-6-(methoxy)quinolin-4-yl]-2-hydroxyethyl]-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]oxazin-3(4H)-one
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antibacterial agent; preparation of quinolines and 1,5-naphthyridines as antibacterial agents)
RN 724790-99-2 CA
CN 2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 6-[[[1-[2-(3-fluoro-6-methoxy-4-quinolinyl]-2-hydroxyethyl]-4-piperidinyl]amino]methyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



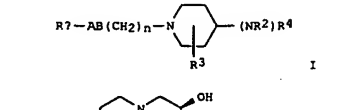
L4 ANSWER 2 OF 6 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:94052 CA
TITLE: Preparation of [[(pyrido[3.2-b][1,4]thiazinyl)methyl]amino]piperidines and analogs as antibacterial agents
INVENTOR(S): Axten, Jeffrey Michael; Daines, Robert A.; Davies, David Thomas; Gallagher, Timothy Francis; Jones, Graham Elgin; Miller, William Henry; Pearson, Neil David; Pendrak, Israil
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002490	A2	20040108	WO 2003-EP6754	20030625

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-391710P P 20020626

OTHER SOURCE(S): MARPAT 140:94052
GI



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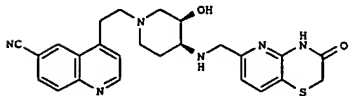
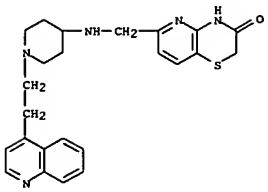


II

AB Title compds. I [wherein RA = (un)substituted bicyclic carbocycle, heterocycle; R2 = H, or (un)substituted alkyl, alkenyl; R3 = H, carboxy, alkoxycarbonyl, aminocarbonyl, etc.; R4 = UR5; U = CO, SO2, CH2; R5 = (un)substituted bicyclic carbocycle or heterocycle; n = 0-1; AB = aminocarbonyl, alkylcarbonyl, aminosulfonyl, etc.; and pharmaceutically acceptable derivs. thereof] were prepared as antibacterial agents. For

L4 ANSWER 2 OF 6 CA COPYRIGHT 2005 ACS on STN (Continued)
example, reductive alkylation of 4-[2-[(3R,4S)-4-amino-3-hydroxy-1-piperidinyl]ethyl]-6-quinolinecarbonitrile•2HCl with 3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxaldehyde afforded II in 60% yield. II•2HCl had MIC ≤ 2 μg/mL against bacterial infections, such as S. epidermidis CL7. Thus, I and their pharmaceutical compns. are useful for the treatment of bacterial infections in mammals, particularly in humans.
IT 642478-39-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(Preparation of [[(pyrido[3.2-b][1,4]thiazinyl)methyl]amino]piperidines and analogs as antibacterial agents)
RN 642478-39-5 CA
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (9CI) (CA INDEX NAME)



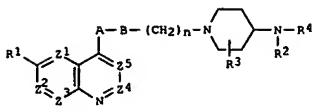
L4 ANSWER 3 OF 6 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:164798 CA
TITLE: Preparation of aminopiperidine derivatives for treatment of bacterial infections
INVENTOR(S): Miller, William Henry; Pearson, Neil David; Pendrak, Israil; Seefeld, Mark Andrew
PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Daines, Robert A
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064421	A1	20030807	WO 2003-EP823	20030127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1470125	A1	20041027	EP 2003-734701	20030127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005159411	A1	20050721	US 2003-502233	20030127
JP 2005525324	T2	20050825	JP 2003-564044	20030127

PRIORITY APPLN. INFO.: GB 2002-2026 A 20020129
GB 2002-29824 A 20021220
WO 2003-EP823 W 20030127

OTHER SOURCE(S): MARPAT 139:164798
GI

L4 ANSWER 3 OF 6 CA COPYRIGHT 2005 ACS on STN (Continued)



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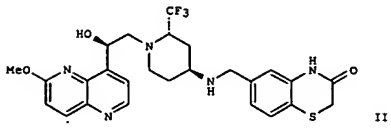


II

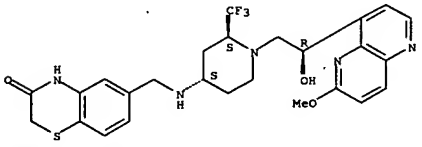
AB Title compds. I [one of Z1-5 = N, one = CR1a and the remainder = CH or one of Z1-5 = CR1a and the remainder = CH; R1-1a = H, OH, alkoxy, amino, etc.; R2 = H, alkyl, alkenyl; R3 = CF3, 2-oxo, etc.; R4 = UR5; U = CO, SO2, CH2; R5 = bicyclic, heterocyclic ring system A; n = 0-1; AB = amido, alkylacyl, aminosulfonyl, etc.] are prepared For instance, bromomethyl (6-methoxy[1,5]naphthyridin-4-yl)ketone (preparation given) is reduced (PhMe, (+)-DIPCl) to give the (R)-alc., converted to the oxirane (MeOH, K2CO3) and used to alkylate [(2S,4S)-2-(trifluoromethyl)piperidin-4-yl]carbamic acid tert-Bu ester (preparation given) and deprotected to give (1R)-2-[(2S,4S)-4-amino-2-(trifluoromethyl)piperidin-1-yl]-1-(6-methoxy[1,5]naphthyridin-4-yl)ethanol. This amine is alkylated with 3-oxo-3,4-dihydro-2H-benzo[1,4]thiazine-6-carboxaldehyde (preparation given) (EtOH, NaBH4) to give II. Selected examples have MICs ≤ 2 μg/mL vs., e.g., S. epidermidis CL7, S. aureus WCUH29, etc.

IT **577691-48-6P**, 6-[[[(2S,4S)-1-[(R)-2-Hydroxy-2-(6-methoxy[1,5]naphthyridin-4-yl)ethyl]-2-(trifluoromethyl)piperidin-4-yl]amino]methyl]-4H-benzo[1,4]thiazin-3-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopiperidine derivs. for treatment of bacterial infections)

RN 577691-48-6 CA
CN 2H-1,4-Benzothiazin-3(4H)-one, 6-[[[(2S,4S)-1-[(2R)-2-hydroxy-2-(6-methoxy-

L4 ANSWER 3 OF 6 CA COPYRIGHT 2005 ACS on STN (Continued)
1,5-naphthyridin-4-yl)ethyl]-2-(trifluoromethyl)-4-piperidinyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 4 OF 6 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:164795 CA
TITLE: Preparation of aminopiperidine compounds as antibacterial agents
INVENTOR(S): Miller, William Henry; Pearson, Neil David; Pendrak, Israil; Seefeld, Mark Andrew
PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Daines, Robert A
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064431	A2	20030807	WO 2003-EP824	20030127
WO 2003064431	A3	20031218		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1470131	A2	20041027	EP 2003-734702	20030127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005085494	A1	20050421	US 2003-502234	20030127
JP 2005519922	T2	20050707	JP 2003-564054	20030127

PRIORITY APPLN. INFO.: GB 2002-2025 A 20020129
GB 2002-29819 A 20021220
WO 2003-EP824 W 20030127

OTHER SOURCE(S): MARPAT 139:164795
GI

This one

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; one of Z1-Z5 = N, one = CR1a and the remainder = CH; or one or two of Z1-Z5 = CR1a and the remainder are CH; R1, R1a = H, OH, alkoxy, etc.; or when Z5 = CR1a, then R1a may instead be CN, CH2OH, CO2H; or R1 and R1a on adjacent positions may together form ethylenedioxy; provided that when Z1-Z5 = CR1a or CH, then R1 is not H; R2 = H, alkyl, alkenyl, etc.; R3 is in the 2-, 3- or 4- position and is CF3 or is in the 2-position and is oxo; or R3 is in the 3-position and = F, (un)substituted NH2; R4 = UR5 (wherein U = CO, SO2, CH2; R5 = (un)substituted bicyclic carbocyclic or heterocyclic ring system); n = 0-1; A = O, (un)substituted NH, CH2; B = O, SO2, (un)substituted NH, CH2], useful in the treatment of bacterial infections in mammals (biol. data given), particularly in man,

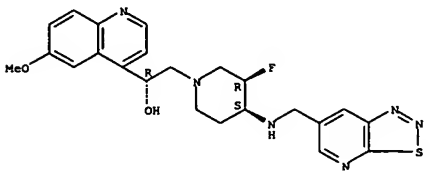
L4 ANSWER 4 OF 6 CA COPYRIGHT 2005 ACS on STN (Continued)
were prepd. E.g., a multi-step synthesis of II and III as a 1:1 mixt. of isomers (starting from Me 6-chloro-5-nitronicotinate), was given. A pharmaceutical compn. comprising the title compd. I was claimed.

IT 577771-02-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopiperidine compds. as antibacterial agents)

RN 577771-02-9 CA

CN 4-Quinolinemethanol, α-[[(3R,4S)-3-fluoro-4-[([1,2,3]thiadiazolo[5,4-b]pyridin-6-ylmethyl)amino]-1-piperidinyl]methyl]-6-methoxy-, (αR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 6 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 137:125092 CA

TITLE: Preparation of 4-piperidinylquinolines and nitrogenated analogs as antibacterial agents

INVENTOR(S): Davies, David Thomas; Jones, Graham Elgin; Markwell, Roger Edward; Miller, William; Pearson, Neil David

PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK

SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

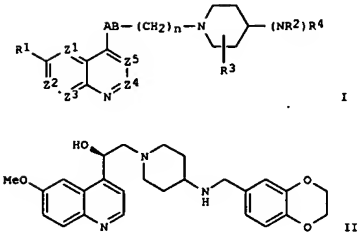
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002056882	A1	20020725	WO 2002-EP587	20020122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1359908	A1	20031112	EP 2002-702296	20020122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004520360	T2	20040708	JP 2002-557390	20020122
US 2004138219	A1	20040715	US 2004-466394	20040126

PRIORITY APPLN. INFO.: GB 2001-1577 A 20010122
WO 2002-EP587 W 20020122

OTHER SOURCE(S): MARPAT 137:125092

GI

L4 ANSWER 5 OF 6 CA COPYRIGHT 2005 ACS on STN (Continued)



I

II

AB Title compds. I [wherein one of Z1-Z5 = N, one = CR1a, and the remainder = CH; or one of Z1-Z5 = CR1a and the remainder = CH; R1 and R1a = independently H, OH, or (un)substituted alkoxy; R2 = H or (un)substituted alkyl or alkenyl; R3 = H, carboxy, alkoxycarbonyl, alkenyloxycarbonyl, or (un)substituted aminocarbonyl, alkyl, or ethenyl; R4 = UR5; U = CO, SO2, or CH2; R5 = (un)substituted bicyclic carbocyclic or heterocyclic ring; n = 0 and AB = (un)substituted NHCO, COCH2, CH2CO, NHSO2, CH2SO2, or CH2CH2;
or n = 0 and AB = NHCO, COCH2, CH2CO, NHSO2, CONH, CH2CH2, OCH2, or NHCH2;
with provisos; and pharmaceutically derivs. thereof] were prepared for the
treatment of gram pos. and gram neg. bacterial infections in mammals, particularly in man. For example, quininone was treated with t-BuOK in t-BuOH and H2O to give 6-methoxyquinoline-4-carboxylic acid (46%), which was converted to (R)-2-(6-methoxyquinoline-4-yl)oxirane over several steps. Reaction with LiClO4 in anhydrous DMF, 4-tert-butoxycarbonylaminopiperidine•HCl, and K2CO3 with heating to 90° for 26 h afforded 4-tert-butoxycarbonylamino-1-[2-(R)-hydroxy-2-(6-methoxyquinoline-4-yl)ethyl]piperidine. Deprotection, condensation with 2,3-dihydrobenzo[1,4]dioxine-6-carboxaldehyde, and conversion to the salt gave II•2HO2CCO2H. The latter demonstrated antibacterial activity with MIC ≤ 0.125 μM against one or more of the gram pos. and gram neg. bacteria tested.

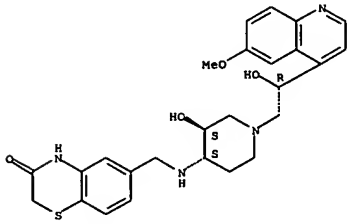
IT 443955-94-0P, 6-[[[(3S,4S)-3-Hydroxy-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-benzo[1,4]thiazin-3-one
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antibacterial agent; preparation of piperidinylquinolines and nitrogenated
analogs as antibacterial agents)

RN 443955-94-0 CA

CN 2H-1,4-Benzothiazin-3(4H)-one, 6-[[[(3S,4S)-3-hydroxy-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 6 CA COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

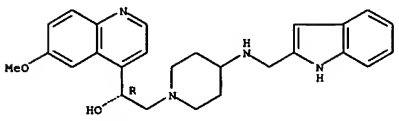
L4 ANSWER 6 OF 6 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:151082 CA
TITLE: Preparation of aminopiperidine quinolines and their azaisosteric analogs having antibacterial activity
INVENTOR(S): Davies, David Thomas; Jones, Graham Elgin; Lightfoot, Andrew P.; Markwell, Roger Edward; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008224	A1	20020131	WO 2001-EP8604	20010725
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2417192	AA	20020131	CA 2001-2417192	20010725
EP 1305308	A1	20030502	EP 2001-969509	20010725
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012750	A	20030909	BR 2001-12750	20010725
JP 2004504397	T2	20040212	JP 2002-514130	20010725
NZ 523749	A	20050324	NZ 2001-523749	20010725
ZA 2003000589	A	20040422	ZA 2003-589	20030122
NO 2003000345	A	20030310	NO 2003-345	20030123
US 2004038998	A1	20040226	US 2003-333829	20030828
PRIORITY APPLN. INFO.:			GB 2000-18351 A	20000726
			GB 2001-1629 A	20010122
			WO 2001-EP8604 W	20010725

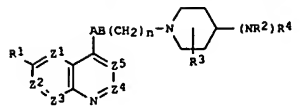
OTHER SOURCE(S): MARPAT 136:151082
GI

L4 ANSWER 6 OF 6 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 CA COPYRIGHT 2005 ACS on STN (Continued)



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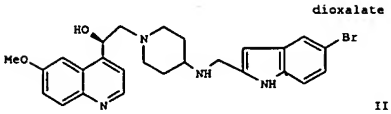

dioxalate

II

AB Aminopiperidine quinoline compds. I (Z1-Z5 = one is N, one (or two independently are) CR1a and the remainder are CH; R1 and R1a = independently are H, OH, NH2, CONH2, halogen, (un)substituted S and SO2, (un)substituted alkyl and alkoxy, etc.; R2 = H, (un)substituted alkyl or alkenyl; R3 = H, CO2H, (un)substituted amino, etc.; R4 = CO, SO2, CH2 attached to an optionally substituted bicyclic, carbocyclic or heterocyclic ring system; n = 0-1; AB = substituted N or C), their salts and pharmaceutically acceptable derivs. were prepared and found to be useful in treating bacterial infections in mammals, especially humans. Thus II was prepared from 4-amino-1-[2-(R)-hydroxy-2-(6-methoxyquinolin-4-yl)]ethylpiperidine and 5-bromo-1H-indole-2-carboxaldehyde and was determined to have an MIC less than or equal to 32μg/mL against one or more of gram pos. and neg. bacteria such as S. aureus Oxford and WCUH29 and S. pneumoniae 1629, N1387 and ERY 2.

IT 394222-56-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aminopiperidine quinolines and their azaisosteric analogs having antibacterial activity)

RN 394222-56-1 CA
CN 4-Quinolinemethanol, α-{[4-[(1H-indol-2-ylmethyl)amino]-1-piperidinyl]methyl}-6-methoxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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=> d his

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L3          616 S L1 FULL

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L4            6 S L3

     FILE 'MARPAT' ENTERED AT 15:34:15 ON 22 SEP 2005

     FILE 'CAOLD' ENTERED AT 15:34:28 ON 22 SEP 2005
L5            0 S L3

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---Logging off of STN---


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Executing the logoff script...


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STN INTERNATIONAL LOGOFF AT 15:34:41 ON 22 SEP 2005
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